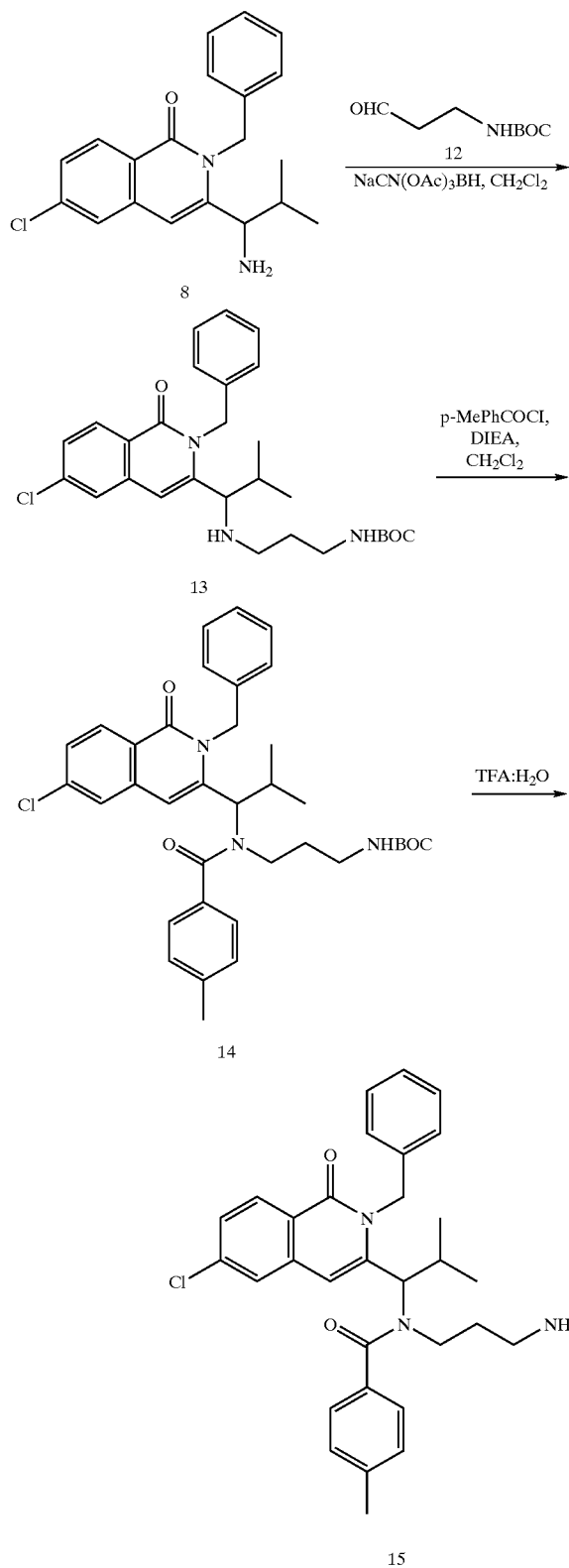


Example 2

[0353] Synthesis of Compounds



[0354] Isoquinolone 8 (515 mg, 1.47 mmol), aldehyde 12 (255 mg, 1.47 mmol), NaCN(OAc)₃BH (420 mg, 1.98 mmol), and CH₂Cl₂ (4.1 mL) was maintained at 23° C. for 2 h. An additional portion of 12 (225 mg, 1.30 mmol) in CH₂Cl₂ (0.6 mL) was then added. After an additional 3 h, the reaction mixture was diluted with EtOAc (20 mL) and washed with 1 N NaOH (5 mL) and brine (5 mL). The organic layer was dried (MgSO₄), filtered, and concentrated. The resulting residue was purified by flash column chromatography (3:1 hexanes:EtOAc; 1:1 hexanes:EtOAc) to yield 630 mg (86%) of 13.

[0355] To a solution of isoquinolone 13 (85 mg, 0.17 mmol), diisopropylethylamine (DIEA, 0.12 mL, 0.68 mmol), and CH₂Cl₂ (0.6 mL) at 23° C. was added p-toluoyle chloride (45 μ L, 34 mmol). After 4 h, the reaction mixture was diluted with EtOAc (20 mL) and washed with saturated aqueous NaHCO₃ (5 mL) and brine (5 mL). The organic layer was dried (MgSO₄), filtered, and concentrated. The resulting residue was purified by flash column chromatography (3:1 hexanes:EtOAc) to yield 83 mg (80%) of 14.

[0356] Isoquinolone 14 (80 mg, 0.13 mmol) and TFA:H₂O (97.5:2.5, 2 mL) was maintained at 23° C. for 1 h. The reaction mixture was concentrated. The residue was dissolved in EtOAc (20 mL) and washed with 1 N NaOH (5 mL) and brine (5 mL). The organic layer was dried (MgSO₄), filtered, and concentrated to provide 65 mg (98%) of 15 as a white solid which was deemed >95% pure by ¹H NMR and LCMS analysis.

Example 3

[0357] Using the methods of the invention as exemplified in Examples 1 and 2 above, the following compounds were prepared:

Structure	LRMS (MH) m/z
	516.2